

Figure 1 Oligodeoxynucleotides Phosphorothioate and Site of Modification

Oligo No.	Sequence & Modification
8	5'-CCTACTAG <u>CG</u> TTCATC-3' (133-1)
9	5'-CCTACTAGC <u>XT</u> TTCATC-3' (139-2)
10	5'-CCTACTAX <u>CG</u> TTCATC-3' (133-2)
11	5'-CCTACTX <u>GC</u> TTCATC-3' (139-3)
12	5'-CCTACX <u>AG</u> CGTTCTCATC-3' (133-3)
13	5'-CCTAXTAG <u>CG</u> TTCTCATC-3' (139-4)
14	5'-CCTX <u>CT</u> AGCGTTCTCATC-3' (133-4)
15	5'-CCTX <u>CT</u> AG <u>C</u> TTCTCATC-3' (145-10a)
16	5'-CCTACTAGCGXTCATC-3' (133-5)
17	5'-CCTACTAGCGT <u>X</u> TCATC-3' (139-7)
18	5'-CCTACTAGCGTT <u>X</u> TCATC-3' (133-6)
19	5'-CCTACTAGCGTT <u>X</u> CATC-3' (139-8)
20	5'-CCTXXTAGCGTTCTCATC-3' (133-12)
21	5'-XXTACTAGCGTTCTCATC-3' (139-6)
22	5'-CCTACTAGCGTT <u>XX</u> ATC-3' (139-9)
23	5'-CCTX <u>CT</u> X <u>GC</u> GTTCATC-3' (145-10b)

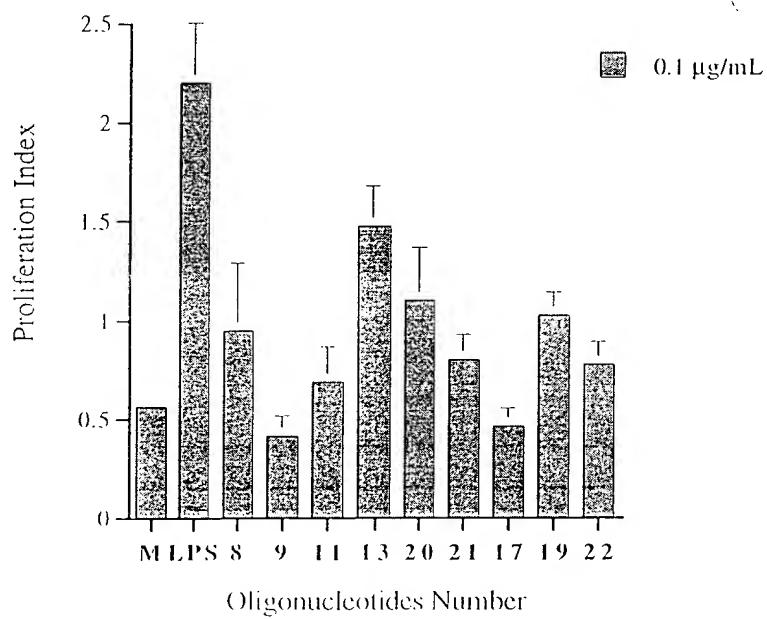
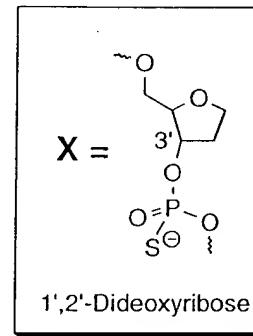


Figure 2 Oligodeoxynucleotides Phosphorothioate and Site of Modification

Oligo No.	Sequence & Modification
8	5'-CCTACTAG <u>C</u> GTTCTCATC-3' (133-1)
9	5'-CCTACTAGCXTTC <u>C</u> ATC-3' (139-2)
10	5'-CCTACTAXCGTTCTCATC-3' (133-2)
11	5'-CCTACTXCGTTCTCATC-3' (139-3)
12	5'-CCTACXAGCGTTCTCATC-3' (133-3)
13	5'-CCTAXTAGCGTTCTCATC-3' (139-4)
14	5'-CCTXCTAGCGTTCTCATC-3' (133-4)
15	5'-CCTXCTAG <u>C</u> TTCTCATC-3' (145-10a)
16	5'-CCTACTAGCGXTCTCATC-3' (133-5)
17	5'-CCTACTAGCGTXCTCATC-3' (139-7)
18	5'-CCTACTAGCGTTXTCATC-3' (133-6)
19	5'-CCTACTAGCGTT <u>C</u> ATC-3' (139-8)
20	5'-CCTXXTAGCGTTCTCATC-3' (133-12)
21	5'-XXTACTAGCGTTCTCATC-3' (139-6)
22	5'-CCTACTAGCGTT <u>XX</u> ATC-3' (139-9)
23	5'-CCTXCTXCGTTCTCATC-3' (145-10b)

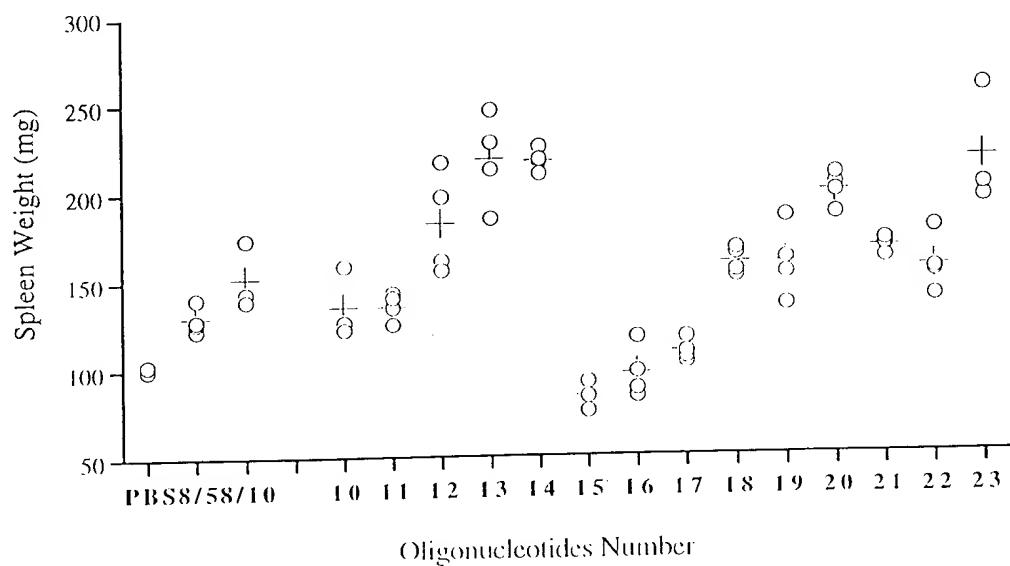
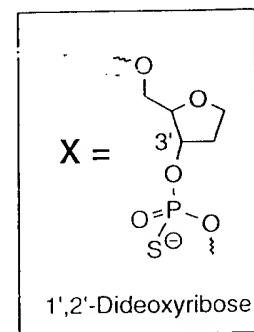
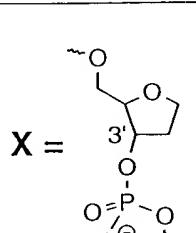


Figure 3 Oligodeoxynucleotides Phosphorothioate and Site of Modification

Oligo No.	Sequence & Modification
1	5'-CTATCTGAC <u>G</u> TCTCTGT-3' (131-1)
2	5'-CTATCTGAXGTTCTCTGT-3' (131-13)
3	5'-CTATCTGXCGTTCTCTGT-3' (131-2)
4	5'-CTATCXGACGTTCTCTGT-3' (131-3)
5	5'-CTAXCTGACGTTCTCTGT-3' (131-4)
6	5'-CTATCTGACGXTCTCTGT-3' (131-5)
7	5'-CTATCTGACGTTXTCTGT-3' (131-6)

X =



1',2'-Dideoxyribose

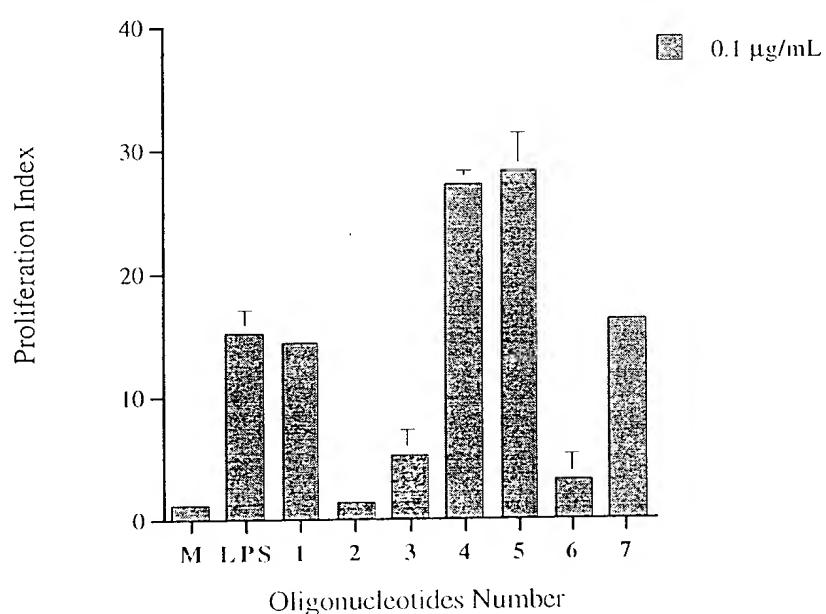
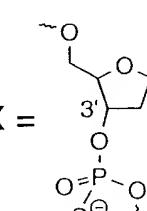


Figure 4 Oligodeoxynucleotides Phosphorothioate and Site of Modification

Oligo No.	Sequence & Modification
1	5'-CTATCTGAC <u>G</u> TTC <u>T</u> GT-3' (131-1)
2	5'-CTATCTGAXGTTCTCTGT-3' (131-13)
3	5'-CTATCTGXCGTTCTCTGT-3' (131-2)
4	5'-CTATCXGACGTTCTCTGT-3' (131-3)
5	5'-CTAXCTGACGTTCTCTGT-3' (131-4)
6	5'-CTATCTGACGX <u>T</u> CTCTGT-3' (131-5)
7	5'-CTATCTGACGTT <u>X</u> CTGT-3' (131-6)

$\text{X} =$ 

 1',2'-Dideoxyribose

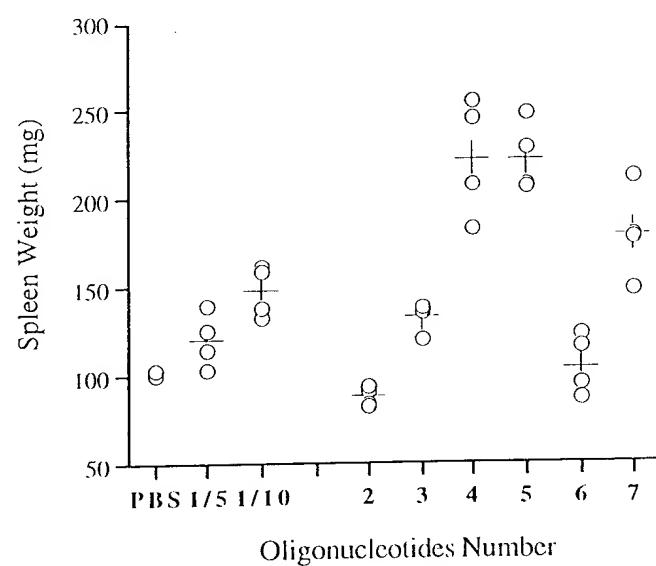


Figure 5 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification
133-1	5'-CCTACTAGCGTTCTCATC-3'
141-2	5'-CCTACTAGCXTTCTCATC-3'
141-3	5'-CCTACTXCGTTCTCATC-3'
141-4	5'-CCTAXTAGCGTTCTCATC-3'
141-5	5'-CCTXXTAGCGTTCTCATC-3'
141-6	5'-XXTACTAGCGTTCTCATC-3'
141-7	5'-CCTACTAGCGTXCTCATC-3'
141-8	5'-CCTACTAGCGTTCXCATC-3'
141-9	5'-CCTACTAGCGTTCXXATC-3'
131-1	5'-CTATCTGACGTTCTCTGT-3'
137-2	5'-CTATCTGXCGTTCTCTGT-3'
137-3	5'-CTATCXGACGTTCTCTGT-3'
137-4	5'-CTAXCTGACGTTCTCTGT-3'

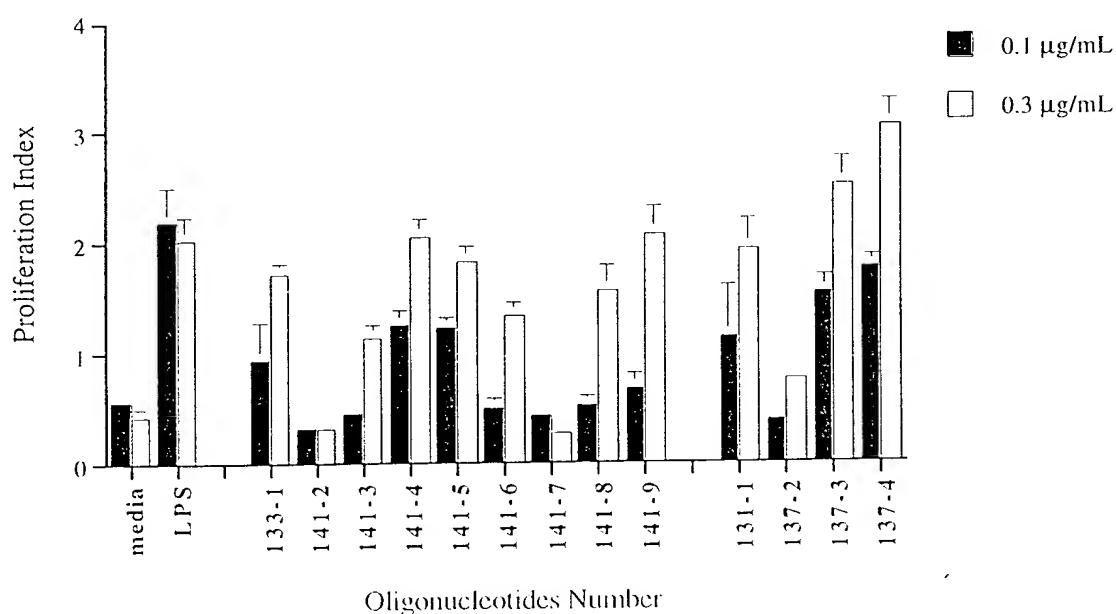
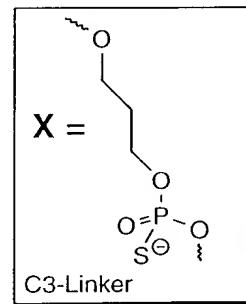


Figure 6 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification
133-1	5'-CCTACTAGCGTTCTCATC-3'
141-2	5'-CCTACTAGCXTTCTCATC-3'
141-3	5'-CCTACTXGCGTTCTCATC-3'
141-4	5'-CCTAXTAGCGTTCTCATC-3'
141-5	5'-CCTXXTAGCGTTCTCATC-3'
141-6	5'-XXTACTAGCGTTCTCATC-3'
141-7	5'-CCTACTAGCGTXCTCATC-3'
141-8	5'-CCTACTAGCGTTCXCATC-3'
141-9	5'-CCTACTAGCGTTXXATC-3'
131-1	5'-CTATCTGACGTTCTCTGT-3'
137-2	5'-CTATCTGXCGTTCTCTGT-3'
137-3	5'-CTATCXGACGTTCTCTGT-3'
137-4	5'-CTAXCTGACGTTCTCTGT-3'

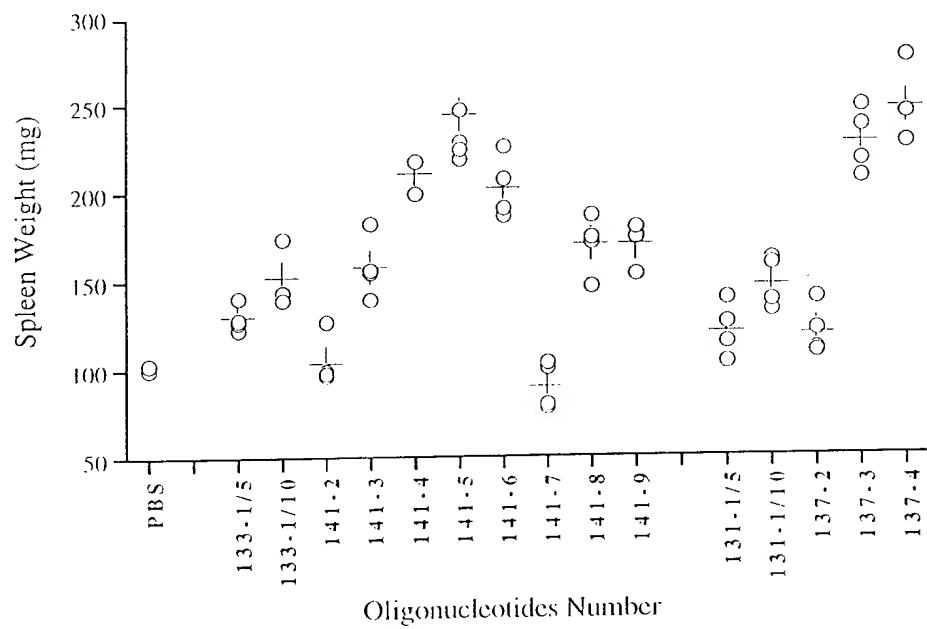
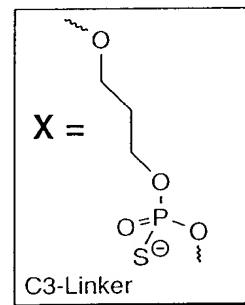
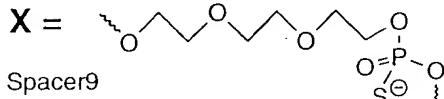
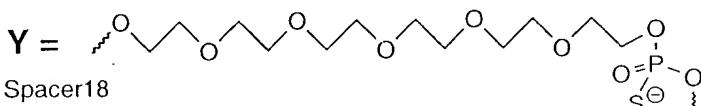


Figure 7 Oligodeoxynucleotides Phosphorothioate and Site of Modification

Oligo No.	Sequence & Modification
1	5'-CTATCTGAC <u>G</u> TTC <u>T</u> GT-3' (131-1)
2	5'-CTAXCTGACGTT <u>T</u> CTGT-3' (153-1)
3	5'-CTATCTGACGTT <u>C</u> XTGT-3' (153-2)
4	5'-CTAYCTGACGTT <u>T</u> CTGT-3' (153-3)
5	5'-CTATCTGACGTT <u>C</u> YGT-3' (153-4)
6	5'-CCTACTAGCGTT <u>T</u> TCATC-3' (133-1)
7	5'-CCT <u>X</u> CTAGCGTT <u>T</u> TCATC-3' (155-1)
8	5'-CCTACTAGCGTT <u>C</u> TCATC-3' (155-2)
9	5'-CCT <u>Y</u> CTAGCGTT <u>T</u> TCATC-3' (155-3)
10	5'-CCTACTAGCGTT <u>C</u> YCATC-3' (155-4)

X = 

Spacer9

Y = 

Spacer18

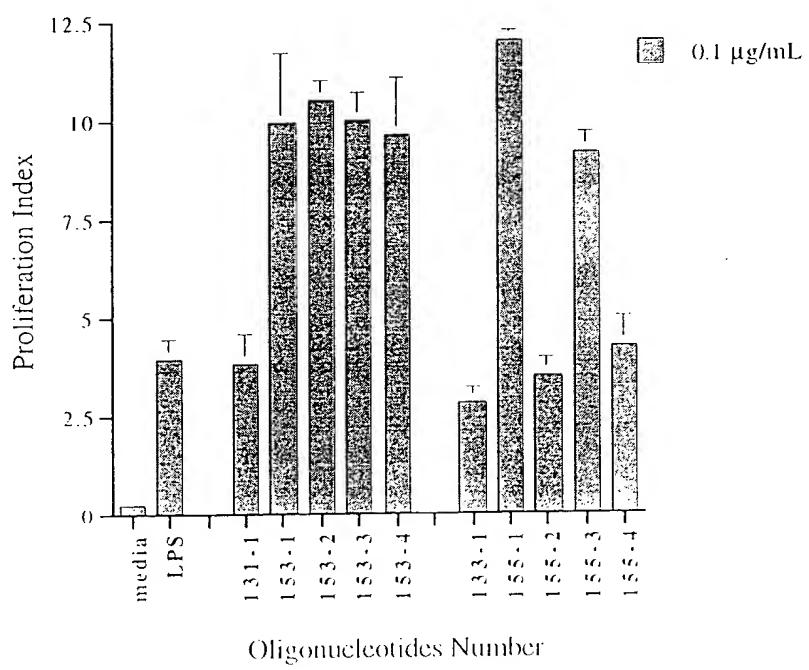
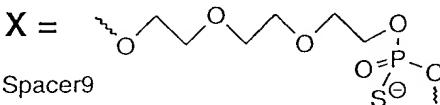
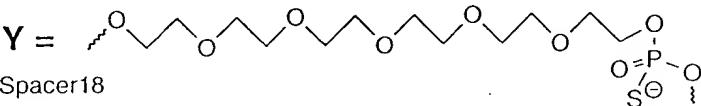


Figure 8 Oligodeoxynucleotides Phosphorothioate and Site of Modification

Oligo No.	Sequence & Modification
1	5'-CTATCTGAC <u>G</u> TTC <u>T</u> GT-3' (131-1)
2	5'-CTAXCTGACGTTCTCTGT-3' (153-1)
3	5'-CTATCTGACGTT <u>C</u> XTGT-3' (153-2)
4	5'-CTAYCTGACGTTCTGT-3' (153-3)
5	5'-CTATCTGACGTT <u>C</u> YCTGT-3' (153-4)
6	5'-CCTACTAGCGTTCTCATC-3' (133-1)
7	5'-CCT <u>X</u> CTAGCGTTCTCATC-3' (155-1)
8	5'-CCTACTAGCGTT <u>C</u> XCATC-3' (155-2)
9	5'-CCT <u>Y</u> CTAGCGTTCTCATC-3' (155-3)
10	5'-CCTACTAGCGTT <u>C</u> YCATC-3' (155-4)

$\text{X} =$ 

 Spacer 9

$\text{Y} =$ 

 Spacer 18

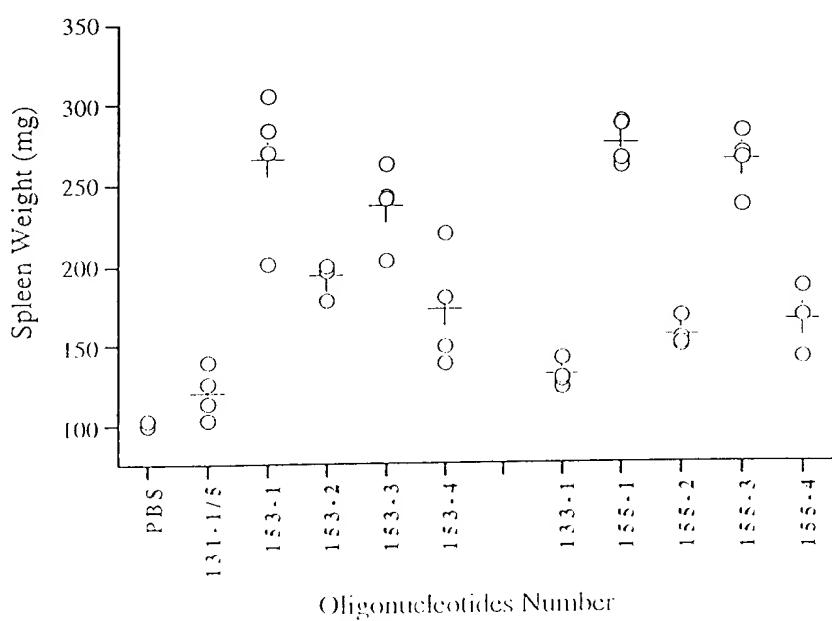


Figure 9 Oligodeoxynucleotides Phosphorothioate and Site of Modification

Oligo No.	Sequence & Modification
1	5'-CTATCTGACGTTCTCTGT-3' (131-1)
2	5'-CTATCTG X CGTTCTCTGT-3' (157-1)
3	5'-CTATCT X ACGTTCTCTGT-3' (157-2)
4	5'-CTAXCTGACGTTCTCTGT-3' (157-3)
5	5'-CTATCTGACG T XCTCTGT-3' (157-4)
6	5'-CTATCTGACGTT C XCTGT-3' (157-5)

X =

Amino-Linker

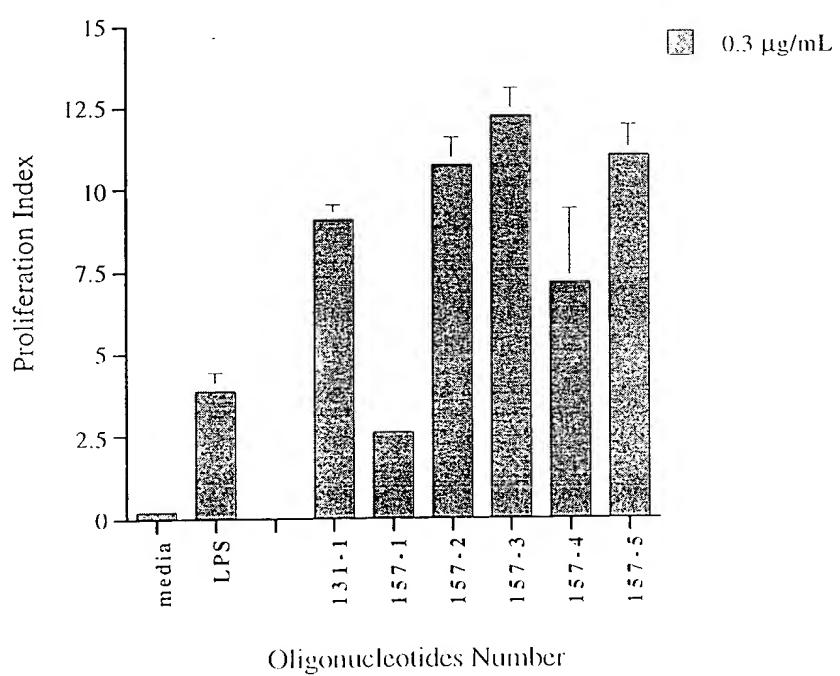


Figure 10 Oligodeoxynucleotides Phosphorothioate and Site of Modification

Oligo No.	Sequence & Modification
1	5'-CTATCTGACGTTCTCTGT-3' (131-1)
2	5'-CTATCTGXCAGTTCTCTGT-3' (157-1)
3	5'-CTATCTXACGTTCTCTGT-3' (157-2)
4	5'-CTAXCTGACGTTCTCTGT-3' (157-3)
5	5'-CTATCTGACGTXCTCTGT-3' (157-4)
6	5'-CTATCTGACGTTCXCTGT-3' (157-5)

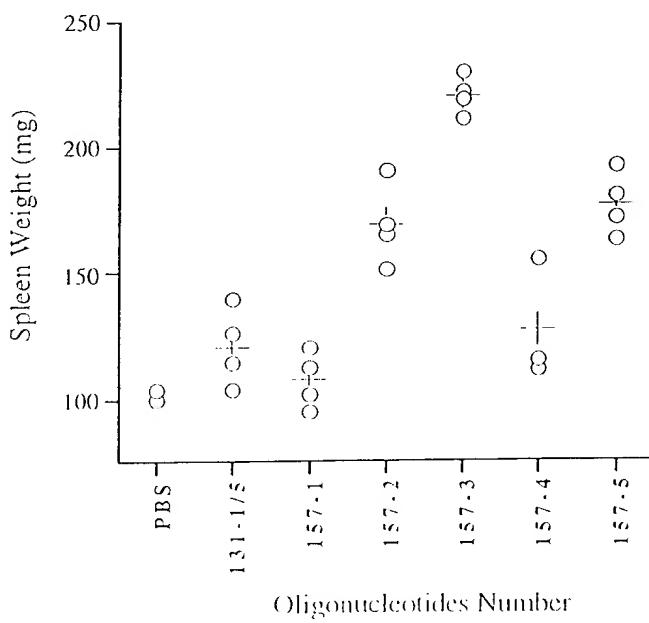


Figure 11 Oligodeoxynucléotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification
131-1	5'-CTATCTGACGTTCTCTGT-3'
159-1	5'-CTATCTGACXTTCTCTGT-3'
159-2	5'-CTATCTGAXGTTCTCTGT-3'
159-3	5'-CTATXTGACGTTCTCTGT-3'
159-4	5'-CTATCTGACGTTCTXTGT-3'
133-1	5'-CCTACTAGCGTTCTCATC-3'
161-1	5'-CCTACTAGCXTTCTCATC-3'
161-2	5'-CCTACTAGXGTTCTCATC-3'
161-3	5'-CCTACTAXCGTTCTCATC-3'
161-4	5'-CCTAXTAGCGTTCTCATC-3'
161-5	5'-CCTACTAGCGTTCTXATC-3'

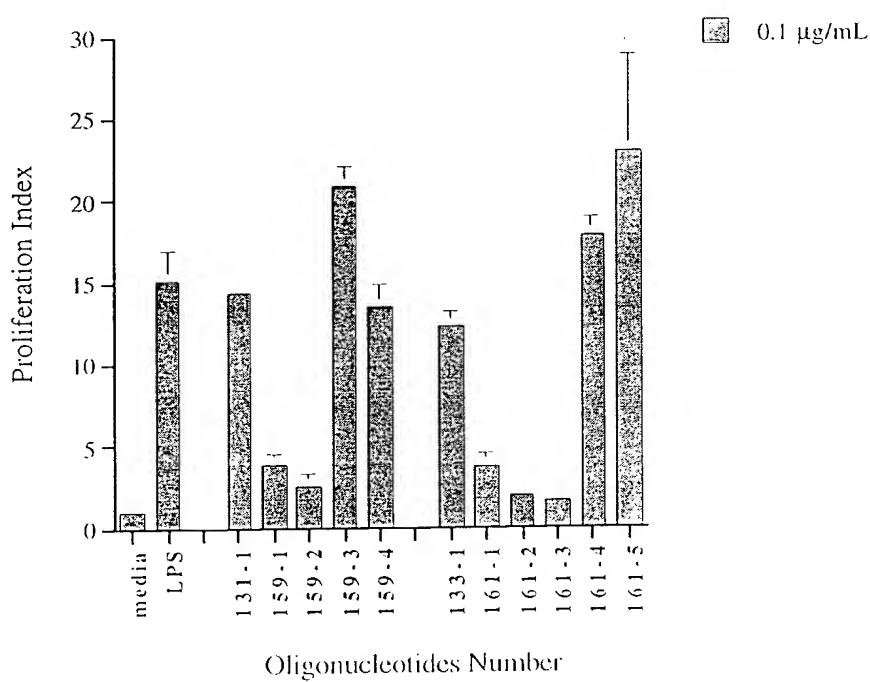
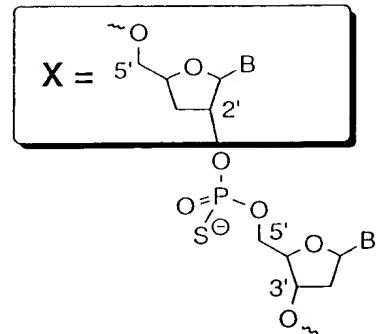
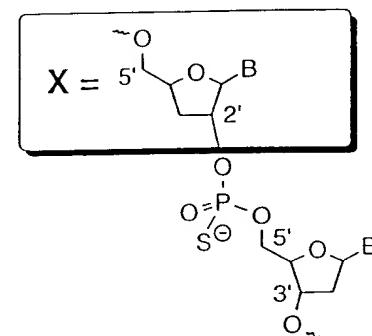


Figure 12 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification
131-1	5'-CTATCTGACGTTCTCTGT-3'
159-1	5'-CTATCTGACXTTCTCTGT-3'
159-2	5'-CTATCTGAXGTTCTCTGT-3'
159-3	5'-CTATXTGACGTTCTCTGT-3'
159-4	5'-CTATCTGACGTTCTXTGT-3'
133-1	5'-CCTACTAGCGTTCTCATC-3'
161-1	5'-CCTACTAGCXTTCTCATC-3'
161-2	5'-CCTACTAGXGTTCTCATC-3'
161-3	5'-CCTACTAXCGTTCTCATC-3'
161-4	5'-CCTAXTAGCGTTCTCATC-3'
161-5	5'-CCTACTAGCGTTCTXATC-3'



3'-Deoxynucleoside

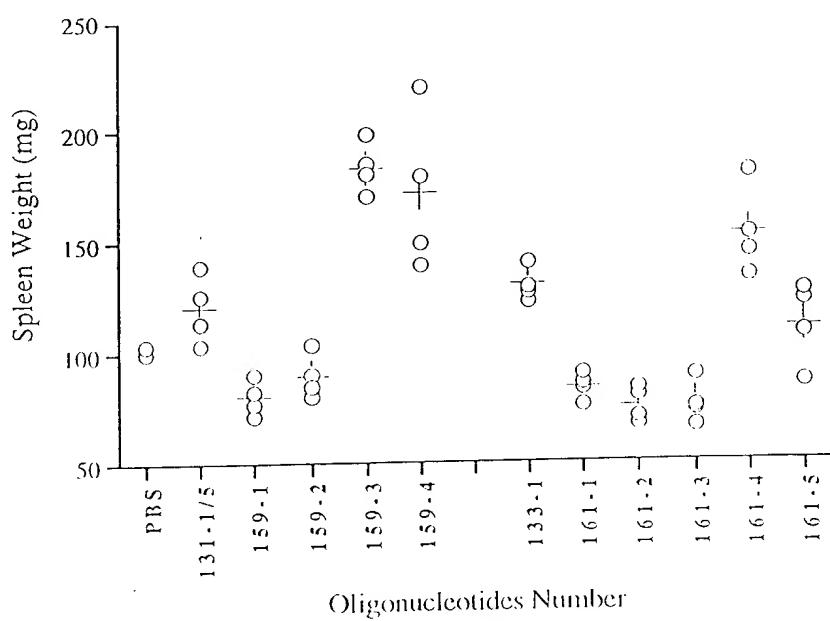


Figure 13 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification
131-1	5'-CTATCTGACGTTCTCTGT-3'
167-1	5'-CTATCTG ^X CGTTCTCTGT-3'
167-2	5'-CTATCTXACGTTCTCTGT-3'
167-3	5'-CTATCXGACGTTCTCTGT-3'
167-4	5'-CTAT ^X TGACGTTCTCTGT-3'
167-5	5'-CTAXCTGACGTTCTCTGT-3'
167-6	5'-CTXXCTGACGTTCTCTGT-3'
167-7	5'-CTATCTGACGXCTCTGT-3'
167-8	5'-CTATCTGACGTXCTCTGT-3'
167-9	5'-CTATCTGACGTTXTCTGT-3'
167-10	5'-CTATCTGACGTT ^X CTGT-3'
167-11	5'-CTATCTGACGTTCTXTGT-3'
167-12	5'-CTATCTGACGTTCTXXGT-3'

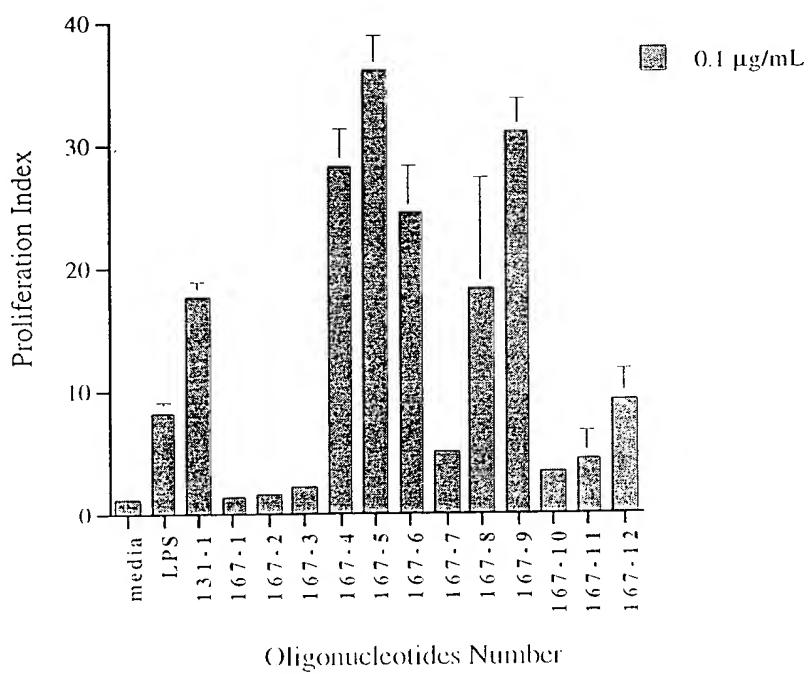
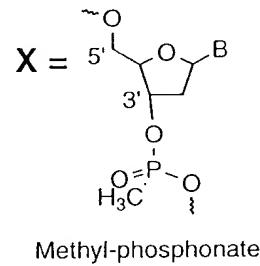
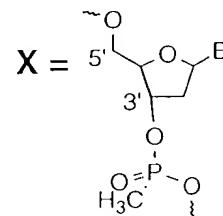


Figure 14 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification
131-1	5'-CTATCTGACGTTCTCTGT-3'
167-1	5'-CTATCTGXCACGTTCTCTGT-3'
167-2	5'-CTATCTXACGTTCTCTGT-3'
167-3	5'-CTATCXGACGTTCTCTGT-3'
167-4	5'-CTATXTGACGTTCTCTGT-3'
167-5	5'-CTAXCTGACGTTCTCTGT-3'
167-6	5'-CTXXCTGACGTTCTCTGT-3'
167-7	5'-CTATCTGACGXCTCTGT-3'
167-8	5'-CTATCTGACGTXCTCTGT-3'
167-9	5'-CTATCTGACGTTXTCTGT-3'
167-10	5'-CTATCTGACGTTXCTGT-3'
167-11	5'-CTATCTGACGTTCTXTGT-3'
167-12	5'-CTATCTGACGTTCTXXGT-3'



Methyl-phosphonate

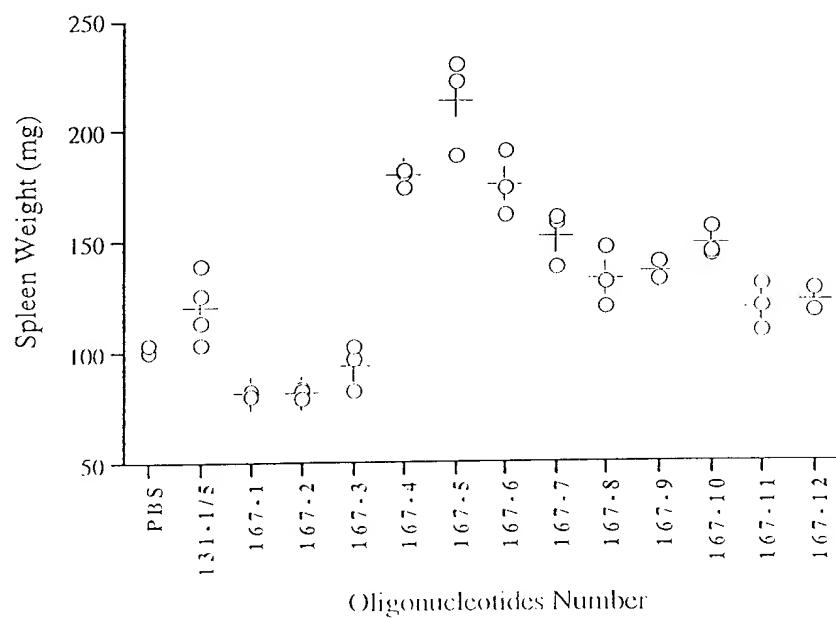


Figure 15 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification	
113-1	5'-TCCATGACGTTCCCTGATGC-3'	
23-1	5'-TCCATGXCGTTCCCTGATGC-3'	
23-3	5'-TCCAXGACGTTCCCTGATGC-3'	
29-2	5'-TYYATGACGGTCCTGATGC-3'	

$\sim\text{O}$
 $\text{5'}-\text{C}-\text{O}-\text{B}$
 $\text{3'}-\text{C}-\text{O}-\text{R}$
 $\text{O}=\text{P}-\text{O}$
 S

$\text{R}_x = 2'\text{-O-Methylribonucleoside}$
 $\text{R}_y = 2'\text{-O-Methoxyethylribonucleoside}$

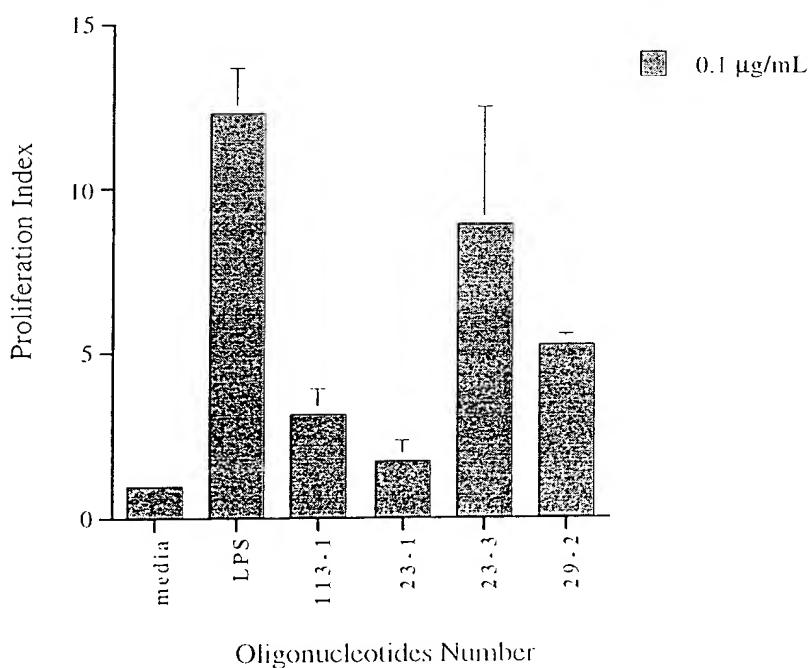
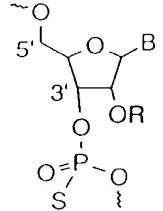


Figure 16 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification
113-1	5'-TCCATGACGTTCTGATGC-3'
23-1	5'-TCCATGXCGTTCTGATGC-3'
23-3	5'-TCCAXGACGTTCTGATGC-3'
29-2	5'-TYYATGACGGTCTGATGC-3'



 $R_x = 2'$ -O-Methylribonucleoside
 $R_y = 2'$ -O-Methoxyethylribonucleoside

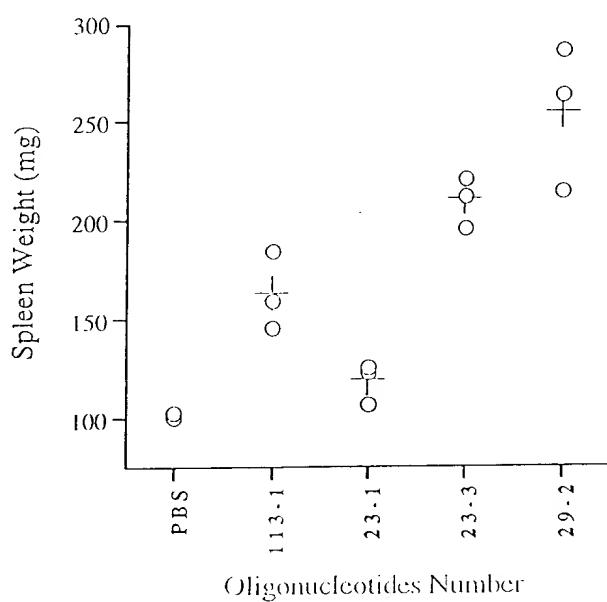
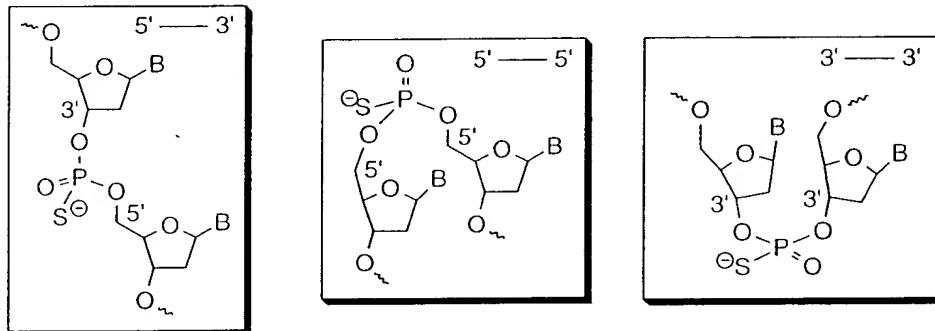


Figure 17 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification
1	5'-G A G A A C G C T C G A C C T T-3' ↑ ↑
2	5'-G A G A A C G C T C G A C C T T-3' — 5'-G A G A A C G C T C G A C C T T-3' ↑ ↑ ↑ ↑
3	3'-T T C C A G C T C G C A A G A G-5' — 5'-G A G A A C G C T C G A C C T T-3' ↑ ↑ ↑ ↑
4	5'-G A G A A C G C T C G A C C T T-3' — 3'-T T C C A G C T C G C A A G A G-5' ↑ ↑ ↑ ↑
5	5'-T C T C C C A G C G T G C G C C A T-3' ↑
6	5'-T C C C A G C G T G C G C C A T-3' — 5'-T C C C A G C G T G C G C C A T-3' ↑ ↑
7	3'-T A C C G C G T G C G A C C C T-5' — 5'-T C C C A G C G T G C G C C A T-3' ↑ ↑ ↑
8	5'-T C C C A G C G T G C G C C A T-3' — 3'-T A C C G C G T G C G A C C C T-5' ↑ ↑ ↑



→ 5'-3'- direction of CpG motif

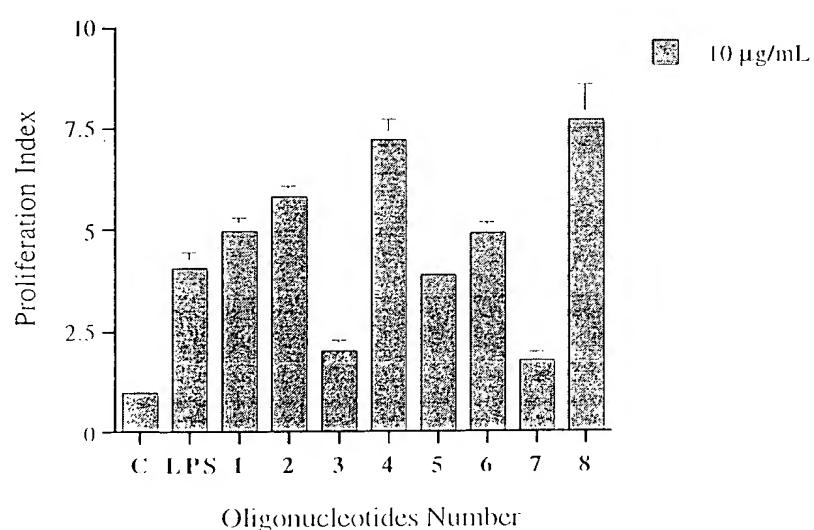


Figure 18 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification
131-1	5'-CTATCTGACGTTCTCTGT-3'
175-1	5'-CTATXTGACGTTCTCTGT-3'
175-2	5'-CTATCTGACGTTCXCTGT-3'
175-3	5'-CTAXXTGACGTTCTCTGT-3'
175-4	5'-CTATCTGACGTTCXXTGT-3'
175-5	5'-CTATCTGAXXTTCTCTGT-3'
175-6	5'-CTATCTXACGTTCTCTGT-3'
175-7	5'-CTATCTGACGTXCTCTGT-3'
175-9	5'-CTATCTGACGTTCTCTGT-3' (all- β -L-DNA/PS)

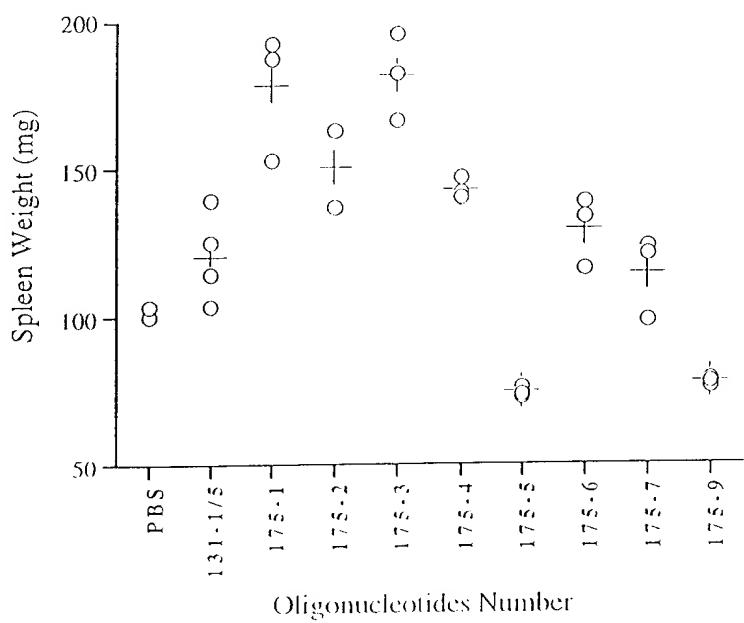
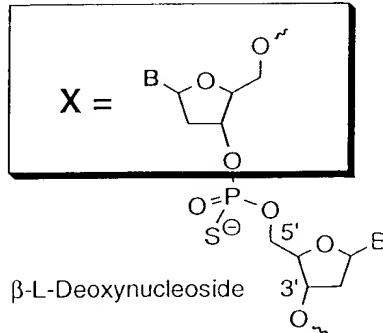


Figure 19 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification
131-1	5'-CTATCTGACGTTCTCTGT-3'
173-1	5'-CTAT X TGACGTTCTCTGT-3'
173-2	5'-CTATCTGACGTTCTXTGT-3'

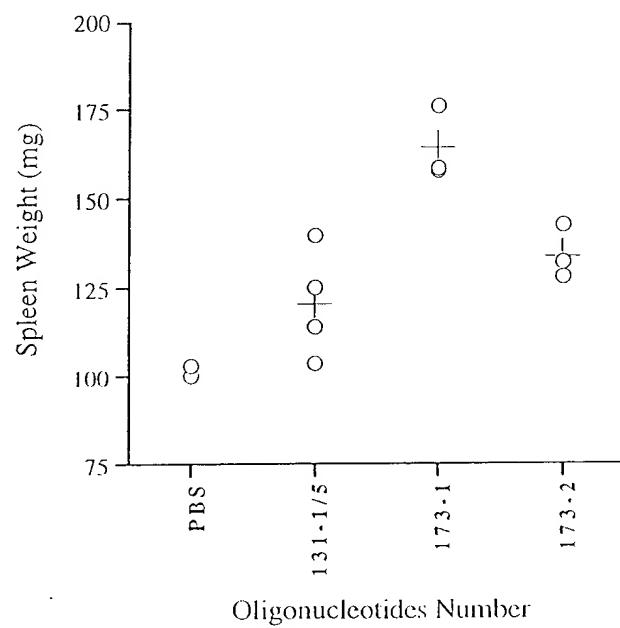
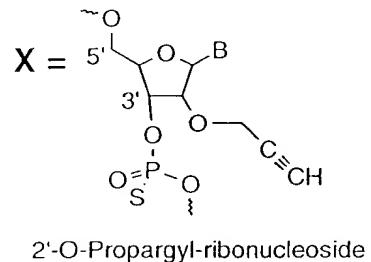


Figure 20 Oligodeoxynucleotide Phosphorothioates and Modification of Linkages

Oligo No.	Sequence & Modification
133-1	5'-CCTACTAGCGTTCTCATC-3'
143-1	5'-CCT ^X X ^Y TAGCGTTCTCATC-3'
143-2	5'-CCT ^Y YTAGCGTTCTCATC-3'
143-3	5'-CCT ^Z ZTAGCGTTCTCATC-3'
143-4	5'-CCT ^X XTAGCGT ^V CTCATC-3'
143-5	5'-CCTACTAG <u>G</u> CTTCTCATC-3'

$X = 1',2'$ -Dideoxyribose
 $Y = C3$ -Linker
 $Z = 3'$ -OMe
 $V = 2'$ -OMe

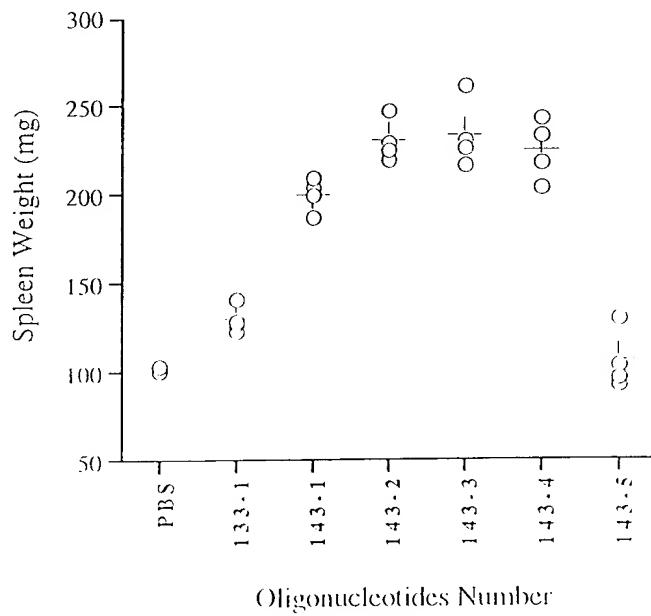
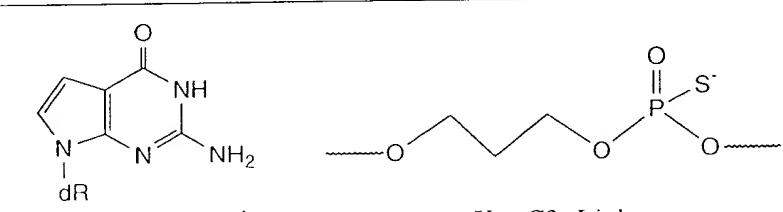


Figure 21 Oligodeoxynucleotide Phosphorothioates and Site of Modification

Oligo No.	Sequence & Modification
1	5'-CTATCTGAC <u>CG</u> TTCTCTGT-3' (131-1)
2	5'-CTATCTGAC <u>G</u> <u>G</u> *TTCTCTGT-3' (E 633L)
3	5'-CTATCTGAG <u>G</u> <u>G</u> *CTTCTCTGT-3' (E 636)
4	5'-TCTCCCAG <u>CG</u> <u>G</u> GTGCGGCCAT-3'
5	5'-TCTCCCAG <u>CG</u> <u>G</u> *TGCG*CCAT-3' (E 603)
6	5'-CTATXTGAC <u>G</u> <u>G</u> *TTCTCTGT-3' (E 639L)



Chemical structures shown in the box:

- 7-deazaguanine: A purine derivative with a 7-deazaguanine base (G*) and a deoxyribose sugar (dR).
- Phosphorothioate linkage: A diagram showing a phosphorus atom bonded to two oxygen atoms of adjacent nucleotides, with a sulfur atom in the middle.

Annotations in the box:

- G^* = 7-deazaguanine
- X = C3- Linker

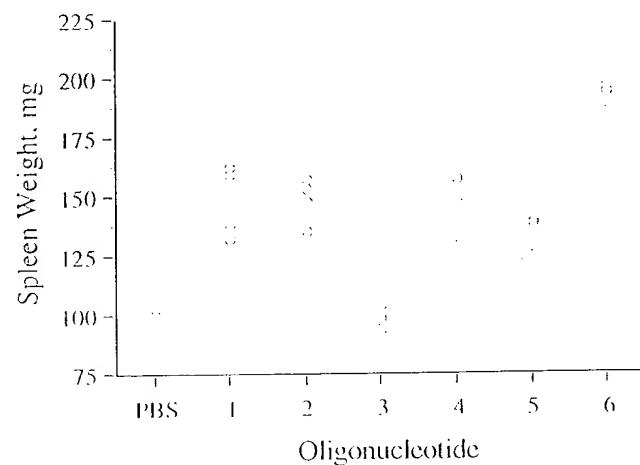
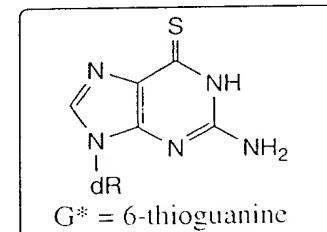


Figure 22 Oligodeoxynucleotide Phosphorothioates and Site of Modification

Oligo No.	Sequence & Modification
1	5'-CTATCTG <u>ACG</u> TCTCTGT-3' (131-1)
2	5'-CTATCTGAC <u>G*</u> TTCTCTGT-3' (E 682)
3	5'-CTATCTGAG <u>G*</u> CTTCTCTGT-3' (E 683)



G* = 6-thioguanine

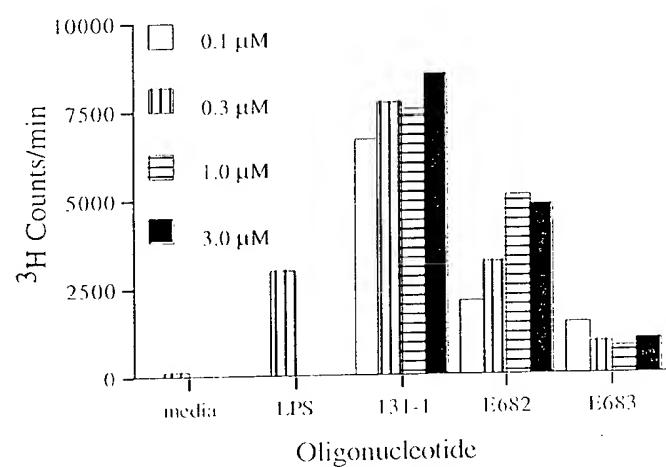


Figure 23 Oligodeoxynucleotide Phosphorothioates and Site of Modification

Oligo No.	Sequence & Modification
1	5'-CTATCTGAC <u>G</u> TTCTCTGT-3'
2	5'-CTATCTGAC <u>G</u> *TTCTCTGT-3'
3	5'-CTATCTGAC <u>CC</u> *TTCTCTGT-3'
4	5'-CTATCTGAC <u>CC</u> **TTCTCTGT-3'
5	5'-CTATCTGAC <u>CC</u> **TTCTCTGT-3'

$\text{C}^* = 5\text{-hydroxy-cytosine}$ $\text{C}^{**} = \text{N}4\text{-ethyl-cytosine}$

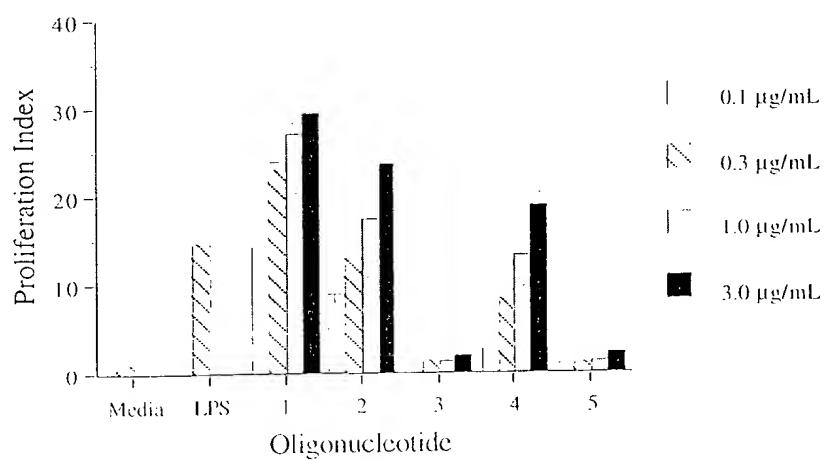


Figure 24 Oligodeoxynucleotide Phosphorothioates and Site of Modification

Oligo No.	Sequence & Modification
1	5'-CTATCTGAC <u>CG</u> TTCTCTGT-3'
2	5'-CTATCTGAC <u>G</u> *TTCTCTGT-3'
3	5'-CTATCTGAC <u>CC</u> *TTCTCTGT-3'
4	5'-CTATCTGAC <u>CC</u> <u>G</u> TTCTCTGT-3'
5	5'-CTATCTGAC <u>CC</u> <u>CC</u> TTCTCTGT-3'

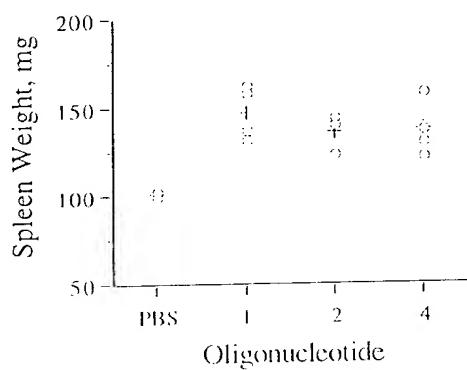
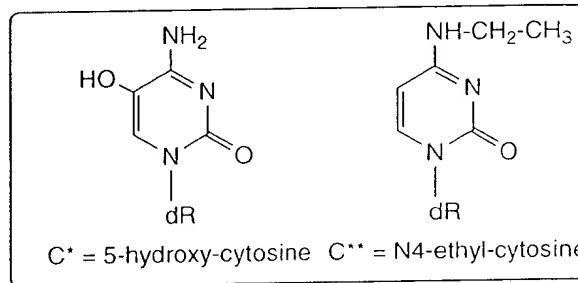


Figure 25 Oligodeoxynucleotide Phosphorothioates and Site of Modification

Oligo No.	Sequence & Modification
1	5'-CTATCTG <u>AC</u> GGTTCTCTGT-3'
2	5'-CTATCTGAC <u>G</u> TTCTCTGT-3'
3	5'-CTATCTG <u>AC</u> CC*TTCTCTGT-3'

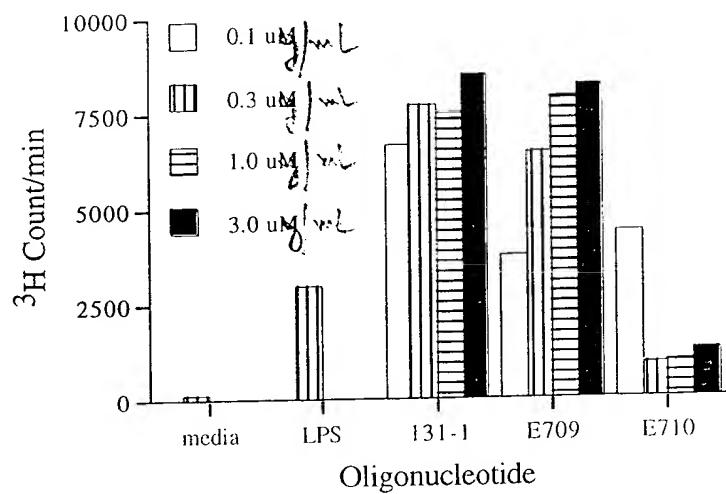
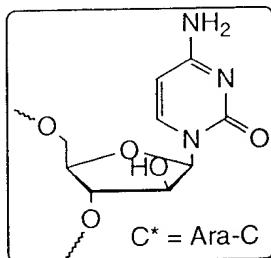


Figure 26 Oligodeoxynucleotide Phosphorothioates and Site of Modification

Oligo No.	Sequence & Modification
1	5'-CTATCTGAC <u>G</u> TTCTCTGT-3' (131-1)
2	5'-CTATCTGAC* <u>G</u> TTCTCTGT-3' (E 647)
3	5'-CTATXTGAC* <u>G</u> TTCTCTGT-3' (E 653)

$\text{C}^* = 4\text{-Thiouracil}$ $\text{X} = 1,2\text{-Dideoxyribose}$

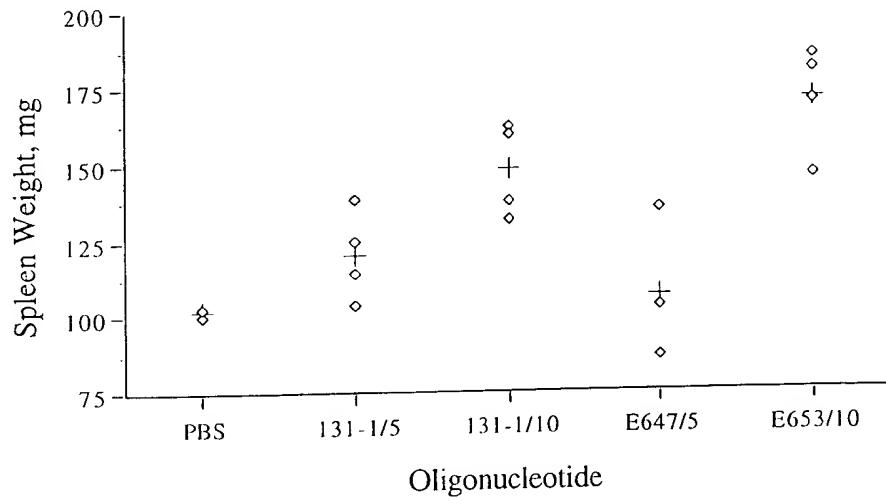


Figure 27

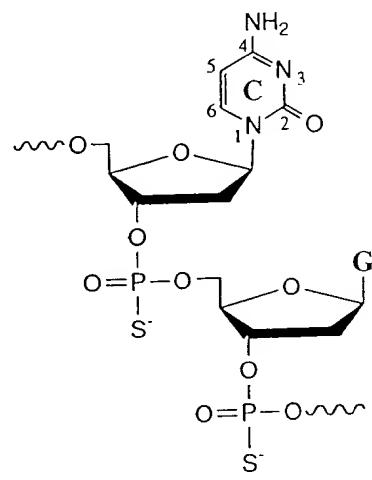


Figure 28

